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(54) Title: SQUARIC ACID DERIVATIVES CONTAINING A BICYCLIC HETEROAROMATIC RING AS INTEGRIN ANTAG-ONISTS

(1)

(57) Abstract: Squaric acid derivatives of formula (1) are described, wherein Het is an optionally substituted bicyclic fused ring heteroaromatic group; L2 is a covalent bond or an atom or group -O-, -S-, -C(O)-, -C(S)-, -S(O)-, -S(O)2, $-N(R^8)$ -or- $-C(R^8)(R^{8a})$ -; $-Ar^2$ is an optionally substituted aromatic or heteroaromatic group; Alk is a chain, in which R is a carboxylic acid (-CO₂H) or a derivative or biostere thereof; R1 is a hydrogen atom or a C1.6alkyl group; L1 is a covalent bond or a

linker atom or group; Alk1 is an optionally substituted aliphatic chain; n is zero or the integer 1; R2 is a hydrogen atom or an optionally substituted heteroaliphatic, cycloaliphatic, heterocycloaliphatic, polycycloalphatic, heteropolycycloaliphatic, aromatic or heteroaromatic group other than a 2,6-naphthyridin-1-yl, isoquinolin-1-yl, 2,7-naphthyridin-1-yl or quinazolin-4-yl group: and the salts, solvates, hydrates and N-oxides thereof. The compounds are able to inhibit the binding of integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders, or disorders involving the inappropriate growth or migration of cells.



INTERNATIONAL SEARCH REPORT

Int....onal Application No PCT/GB 01/03028

A. CLASSII IPC 7	CO7D235/30 CO7D495/04 A61K31/4	184 A61K31/505	A61P37/00						
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EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data									
C. DOCUMENTS CONSIDERED TO BE RELEVANT									
Category °	Citation of document, with indication, where appropriate, of the rele	Relevant to claim No.							
E	WO 01 47867 A (ALEXANDER RIKKI PE; ARCHIBALD SARAH CATHERINE (GB); CH) 5 July 2001 (2001-07-05) claim 1	1-22							
P,X	WO 00 73260 A (ALEXANDER RIKKI PE; ARCHIBALD SARAH CATHERINE (GB); CH) 7 December 2000 (2000-12-07) claims; examples 44,47,250-252	TER Celltech	1-22						
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Further documents are listed in the continuation of box C. Patent family members are listed in annex.									
'A' docum	ent defining the general state of the lart which is not	*T* later document published aft or priority date and not in co	er the international filing date onflict with the application but aciple or theory underlying the						
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*L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another dation or other special reason (as specifiled) *O' document referring to an oral disclosure, use, exhibition or involve an involve step when the document of particular relevance; the cannot be considered to involve an in			ance; the claimed invention volve an inventive step when the none or more other such docu—						
"P" docum	ent referring to an oral disclosure, use, exhibition or means and published prior to the international filing date but an the priority date claimed document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. ** document member of the same patent family		_						
Date of the	actual completion of the international search	Date of mailing of the Intern	national search report						
26 September 2001		10/10/2001							
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Information on patent family members

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